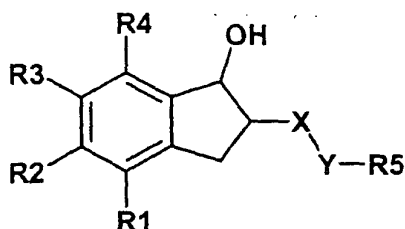


We claim:

1. A compound of the formula I,



I

in which

A)

R1 to R4 are H;

X is S, SO, or SO<sub>2</sub>;

Y is (CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

R5 is CF<sub>3</sub>, (C<sub>2</sub>-C<sub>18</sub>)-alkyl, (C<sub>3</sub>-C<sub>4</sub>)-cycloalkyl, or (C<sub>6</sub>-C<sub>8</sub>)-cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

(CH<sub>2</sub>)<sub>r</sub>-COR6, where r is 1-6 and R6 is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or NH<sub>2</sub>;

CH<sub>2</sub>-CH(NHR7)-COR8, where R7 is H, C(O)-(C<sub>1</sub>-C<sub>4</sub>)-alkyl or C(O)O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl and R8 is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or NH<sub>2</sub>;

phenyl, 1- or 2-naphthyl, biphenyl or a heterocyclic radical, where the rings or ring systems are unsubstituted or substituted one or two times by

O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>8</sub>)-alkyl, S(O)<sub>0-2</sub>(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, N[(C<sub>3</sub>-C<sub>8</sub>)-

cycloalkyl]<sub>2</sub>, NH-CO-(C<sub>2</sub>-C<sub>8</sub>)-alkyl, NH-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, SO<sub>3</sub>H, SO<sub>2</sub>-NH<sub>2</sub>, SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, SO<sub>2</sub>-NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH-SO<sub>2</sub>-NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CH<sub>2</sub>-COOH, O-CH<sub>2</sub>-CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, COOH, CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO-NH<sub>2</sub>, CO-NH(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms independently replaced by fluorine, or

5

10

F, Cl, Br, I, or CN;

with the proviso that R<sub>5</sub> is not unsubstituted phenyl, 4-fluorophenyl, 4-bromophenyl, 4-chlorophenyl, 3-methylphenyl, 4-methylphenyl, 4-methoxyphenyl, 4-n-butylphenyl, 4-t-butylphenyl, 2-aminophenyl, 2-nitrophenyl or C<sub>12</sub>-alkyl;

15

or

B)

R<sub>1</sub>, R<sub>4</sub>

independently of one another are

20

H, F, Cl, Br, I, CN, N<sub>3</sub>, NO<sub>2</sub>, OH, O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O(C<sub>3</sub>-C<sub>4</sub> and C<sub>6</sub>-C<sub>8</sub>)-cycloalkyl, O-CH<sub>2</sub>-phenyl, O-phenyl, O-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>8</sub>)-alkyl, S(O)<sub>0-2</sub>(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, N[(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl]<sub>2</sub>, NH-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, SO<sub>3</sub>H,

25

SO<sub>2</sub>-NH<sub>2</sub>, SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, SO<sub>2</sub>-NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH-SO<sub>2</sub>-NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CH<sub>2</sub>-COOH, O-CH<sub>2</sub>-CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, COOH, CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO-NH<sub>2</sub>, CO-NH(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, or (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, where in the alkyl, cycloalkyl, alkenyl and alkynyl groups in

30

each case have zero to seven hydrogen atoms replaced by fluorine, or one hydrogen replaced by OH, OC(O)CH<sub>3</sub>, O-CH<sub>2</sub>-Ph, NH<sub>2</sub>, NH-CO-CH<sub>3</sub> or N(COOCH<sub>2</sub>Ph)<sub>2</sub>; or

phenyl, 1- or 2-naphthyl,

5-tetrazolyl, 1-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]-5-tetrazolyl, 2-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]-5-tetrazolyl,

1-imidazolyl,

5 1- or 4-[1,2,4]triazolyl,

2- or 3-thienyl,

2- or 3-furyl,

2-, 3- or 4-pyridyl,

2-, 4- or 5-oxazolyl,

10 3-, 4- or 5-isoxazolyl,

2-, 4- or 5-thiazolyl, or

3-, 4- or 5-isothiazolyl

where in each case the aryl radical or heterocycle is unsubstituted or substituted one or two times by

15 F, Cl, Br, CN,

OH, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,

S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,

COOH, CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, or CO-NH<sub>2</sub> and wherein the alkyl groups in each case have zero to seven hydrogen atoms replaced by

20 fluorine;

R<sub>2</sub>, R<sub>3</sub> independently of one another are

H, F, Cl, Br, I, CN, N<sub>3</sub>, NO<sub>2</sub>, O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-

CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>8</sub>)-alkyl,

25 S(O)<sub>0-2</sub>(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>8</sub>)-

cycloalkyl, N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, N[(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl]<sub>2</sub>, NH-CO-(C<sub>1</sub>-C<sub>8</sub>)-

alkyl, NH-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, SO<sub>3</sub>H, SO<sub>2</sub>-NH<sub>2</sub>, SO<sub>2</sub>-NH-(C<sub>5</sub>-C<sub>8</sub>)-

alkyl, SO<sub>2</sub>-NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH-SO<sub>2</sub>-NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)-alkyl,

NH-SO<sub>2</sub>-(C<sub>5</sub>-C<sub>8</sub>)-cycloalkyl, O-CH<sub>2</sub>-COOH, O-CH<sub>2</sub>-CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl,

30 COOH, CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO-NH<sub>2</sub>, CO-

NH(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-

cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, or (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, where in the alkyl,

cycloalkyl, alkenyl and alkynyl groups in each case have zero to seven hydrogen atoms replaced by fluorine,  
or one hydrogen replaced by OH, OC(O)CH<sub>3</sub>, O-CH<sub>2</sub>-Ph, NH<sub>2</sub>, NH-CO-CH<sub>3</sub> or N(COOCH<sub>2</sub>Ph)<sub>2</sub>; or

5

phenyl, 1- or 2-naphthyl,  
5-tetrazolyl,  
1-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]-5-tetrazolyl,  
2-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]-5-tetrazolyl,

10

1-imidazolyl,  
1- or 4-[1,2,4]triazolyl,  
2- or 3-thienyl,  
2- or 3-furyl,

15

2-, 3- or 4-pyridyl,  
2-, 4- or 5-oxazolyl,  
3-, 4- or 5-isoxazolyl,  
2-, 4- or 5-thiazolyl, or  
3-, 4- or 5-isothiazolyl

20

where the heterocycle is unsubstituted or substituted one or two times  
by F, Cl, Br, CN, OH, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,  
S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,  
COOH, CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, or CO-NH<sub>2</sub> wherein the alkyl groups in  
each case have zero to seven hydrogen atoms replaced by fluorine;

25

or R<sub>2</sub> and R<sub>3</sub> together form the group -O-CH<sub>2</sub>-O-;  
where in each case at least one of the radicals R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> is different from  
hydrogen;

X is S, SO, or SO<sub>2</sub>;

30

Y is (CH<sub>2</sub>)<sub>p</sub>, where p can be 0, 1, 2 or 3;

R5 is (C<sub>1</sub>-C<sub>18</sub>)-alkyl, or (C<sub>3</sub>-C<sub>4</sub>- and C<sub>6</sub>-C<sub>8</sub>)-cycloalkyl, wherein the alkyl and cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

5 (CH<sub>2</sub>)<sub>r</sub>-COR<sub>6</sub>, where r is 1-6 and R<sub>6</sub> is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or NH<sub>2</sub>;

CH<sub>2</sub>-CH(NHR<sub>7</sub>)-COR<sub>8</sub>, where R<sub>7</sub> is H, C(O)-(C<sub>1</sub>-C<sub>4</sub>)-alkyl or C(O)O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl and R<sub>8</sub> is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or NH<sub>2</sub>;

10 phenyl, 1- or 2-naphthyl, biphenyl or a heterocyclic radical, where the rings or ring systems are unsubstituted or substituted one or two times by

O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>8</sub>)-alkyl, S(O)<sub>0-2</sub>(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH<sub>2</sub>,  
 15 NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, N[(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl]<sub>2</sub>, NH-CO-(C<sub>2</sub>-C<sub>8</sub>)-alkyl, NH-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, SO<sub>3</sub>H, SO<sub>2</sub>-NH<sub>2</sub>, SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, SO<sub>2</sub>-NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH-SO<sub>2</sub>-NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CH<sub>2</sub>-COOH, O-CH<sub>2</sub>-CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, COOH, CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO-NH<sub>2</sub>, CO-NH(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine; or

25 F, Cl, Br, I, or CN;

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

30 2. The compound as claimed in claim 1, in which

R1, R4 independently of one another are

H, F, Cl, Br, I, CN, N<sub>3</sub>, NO<sub>2</sub>, OH, O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O(C<sub>3</sub>-C<sub>4</sub> and C<sub>6</sub>-C<sub>8</sub>)-  
cycloalkyl, O-CH<sub>2</sub>-phenyl, O-phenyl, O-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O-CO-(C<sub>3</sub>-  
C<sub>8</sub>)-cycloalkyl, S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>8</sub>)-alkyl, S(O)<sub>0-2</sub>(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH<sub>2</sub>,  
NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, N[(C<sub>3</sub>-C<sub>8</sub>)-  
cycloalkyl]<sub>2</sub>, NH-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, SO<sub>3</sub>H,  
SO<sub>2</sub>-NH<sub>2</sub>, SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, SO<sub>2</sub>-NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH-SO<sub>2</sub>-  
NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CH<sub>2</sub>-  
COOH, O-CH<sub>2</sub>-CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, COOH, CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-O-  
(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO-NH<sub>2</sub>, CO-NH(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-N[(C<sub>1</sub>-C<sub>8</sub>)-  
alkyl]<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, or (C<sub>2</sub>-C<sub>8</sub>)-  
alkynyl, wherein the alkyl, cycloalkyl, alkenyl and alkynyl groups in  
each case have zero to seven hydrogen atoms replaced by fluorine,  
or one hydrogen replaced by OH, OC(O)CH<sub>3</sub>, O-CH<sub>2</sub>-Ph, NH<sub>2</sub>, NH-  
CO-CH<sub>3</sub> or N(COOCH<sub>2</sub>Ph)<sub>2</sub>; or

phenyl, 1- or 2-naphthyl,  
5-tetrazolyl, 1-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]-5-tetrazolyl, 2-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]-5-tetrazolyl,  
1-imidazolyl,  
1- or 4-[1,2,4]triazolyl,  
2- or 3-thienyl,  
2- or 3-furyl,  
2-, 3- or 4-pyridyl,  
2-, 4- or 5-oxazolyl,  
3-, 4- or 5-isoxazolyl,  
2-, 4- or 5-thiazolyl, or  
3-, 4- or 5-isothiazolyl

where in each case the aryl radical or heterocycle is unsubstituted or  
substituted one or two times by

F, Cl, Br, CN,  
OH, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,  
S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,

COOH, CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, or CO-NH<sub>2</sub> and wherein the alkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

- 5 R2, R3 independently of one another are
- H, F, Cl, Br, I, CN, N<sub>3</sub>, NO<sub>2</sub>, O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>8</sub>)-alkyl, S(O)<sub>0-2</sub>(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, N[(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl]<sub>2</sub>, NH-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, SO<sub>3</sub>H, SO<sub>2</sub>-NH<sub>2</sub>, SO<sub>2</sub>-NH-(C<sub>5</sub>-C<sub>8</sub>)-alkyl, SO<sub>2</sub>-NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH-SO<sub>2</sub>-NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-SO<sub>2</sub>-(C<sub>5</sub>-C<sub>8</sub>)-cycloalkyl, O-CH<sub>2</sub>-COOH, O-CH<sub>2</sub>-CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, COOH, CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO-NH<sub>2</sub>, CO-NH(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, or (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, where in the alkyl, alkenyl, cycloalkyl and alkynyl groups in each case have zero to seven hydrogen atoms replaced by fluorine, or one hydrogen replaced by OH, OC(O)CH<sub>3</sub>, O-CH<sub>2</sub>-Ph, NH<sub>2</sub>, NH-CO-CH<sub>3</sub> or N(COOCH<sub>2</sub>Ph)<sub>2</sub>; or
- 20 phenyl, 1- or 2-naphthyl, 5-tetrazolyl, 1-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]-5-tetrazolyl, 2-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]-5-tetrazolyl,
- 25 1-imidazolyl, 1- or 4-[1,2,4]triazolyl, 2- or 3-thienyl, 2- or 3-furyl, 2-, 3- or 4-pyridyl,
- 30 2-, 4- or 5-oxazolyl, 3-, 4- or 5-isoxazolyl, 2-, 4- or 5-thiazolyl, or 3-, 4- or 5-isothiazolyl

where the heterocycle is unsubstituted or substituted one or two times by

F, Cl, Br, CN, OH, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,

S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub>)-alkyl,

5 COOH, CO-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, or CO-NH<sub>2</sub> wherein the alkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

or R<sub>2</sub> and R<sub>3</sub> together form the group -O-CH<sub>2</sub>-O-;

10 where in each case at least one of the radicals R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> is different from hydrogen;

X is S, SO, or SO<sub>2</sub>;

Y is (CH<sub>2</sub>)<sub>p</sub>, where p can be 0, 1, 2 or 3;

15

R<sub>5</sub> is (C<sub>1</sub>-C<sub>18</sub>)-alkyl, or (C<sub>3</sub>-C<sub>4</sub>- and C<sub>6</sub>-C<sub>8</sub>)-cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

20

(CH<sub>2</sub>)<sub>r</sub>-COR<sub>6</sub>, where r is 1-6 and R<sub>6</sub> is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or NH<sub>2</sub>;

CH<sub>2</sub>-CH(NHR<sub>7</sub>)-COR<sub>8</sub>, where R<sub>7</sub> is H, C(O)-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or C(O)O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl where R<sub>8</sub> is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or NH<sub>2</sub>;

25

phenyl, 1- or 2-naphthyl, biphenyl or a heterocyclic radical, where the rings or ring systems are unsubstituted or substituted one or two times by

O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CO-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>8</sub>)-alkyl, S(O)<sub>0-2</sub>(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH<sub>2</sub>,

30

NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, N[(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl]<sub>2</sub>, NH-CO-(C<sub>2</sub>-C<sub>8</sub>)-alkyl, NH-CO-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, SO<sub>3</sub>H, SO<sub>2</sub>-NH<sub>2</sub>, SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, SO<sub>2</sub>-NH-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, NH-SO<sub>2</sub>-NH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, NH-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-CH<sub>2</sub>-



COOH, O-CH<sub>2</sub>-CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, COOH, CO-O(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, CO-NH<sub>2</sub>, CO-NH(C<sub>1</sub>-C<sub>8</sub>)-alkyl, CO-N[(C<sub>1</sub>-C<sub>8</sub>)-alkyl]<sub>2</sub>, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine; or

F, Cl, Br, I, or CN;

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

3. The compound as claimed in claim 1, in which

R1, R4 independently of one another are H, F, Cl, or Br;

R2, R3 independently of one another are H, F, Cl, Br, CN, CONH<sub>2</sub>, NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, COOH, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkenyl, (C<sub>1</sub>-C<sub>8</sub>)-alkynyl, where in the alkyl, alkenyl and alkynyl groups in each case have zero to seven hydrogen atoms replaced by fluorine; or

phenyl, or 1-imidazolyl, where the rings are unsubstituted or substituted one or two times by

F, Cl, Br, CN, OH, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, CF<sub>3</sub>, or O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, wherein the alkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

where in each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

X is S, SO, or SO<sub>2</sub>;

Y is (CH<sub>2</sub>)<sub>p</sub>, where p can be 0 or 1;

R5 is (C<sub>1</sub>-C<sub>18</sub>)-alkyl or (C<sub>3</sub>-C<sub>4</sub>- and C<sub>6</sub>-C<sub>8</sub>)-cycloalkyl, where in the alkyl and cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

5 (CH<sub>2</sub>)<sub>r</sub>-CO-O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, where r is 1-6;

CH<sub>2</sub>-CH(NHR<sub>7</sub>)-COR<sub>8</sub>, where R<sub>7</sub> is H, C(O)-(C<sub>1</sub>-C<sub>4</sub>)-alkyl or C(O)O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl and R<sub>8</sub> is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or NH<sub>2</sub>;

10 phenyl, or a heterocyclic radical;

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

15

4. The compound as claimed in claim 1, which

R<sub>1</sub> is H,

R<sub>2</sub> is Cl,

R<sub>3</sub> is H,

20 R<sub>4</sub> is H,

R<sub>5</sub> is CH<sub>3</sub>,

X is SO<sub>2</sub>, and

Y is (CH<sub>2</sub>)<sub>p</sub> where p is 0

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture

25 of any such compounds in any ratio.

5. The compound as claimed in claim 1, which

R<sub>1</sub> is H,

R<sub>2</sub> is Cl,

30 R<sub>3</sub> is H,

R<sub>4</sub> is H,

R<sub>5</sub> is CH<sub>3</sub>,

X is S, and

Y is  $(\text{CH}_2)_p$  where p is 0

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

5 6. The compound as claimed in claim 1, which

R1 is H,

R2 is Cl,

R3 is H,

R4 is H,

10 R5 is  $\text{CH}_2\text{CH}_3$ ,

X is  $\text{SO}_2$ , and

Y is  $(\text{CH}_2)_p$  where p is 0

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

15

7. The compound as claimed in claim 1, which

R1 is H,

R2 is Cl,

R3 is H,

20 R4 is H,

R5 is  $\text{CH}(\text{CH}_3)_2$ ,

X is  $\text{SO}_2$ , and

Y is  $(\text{CH}_2)_p$  where p is 0

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

25

8. A pharmaceutical comprising at least one compound as claimed in claim 1 and at least one pharmaceutical carrier.

30 9. A pharmaceutical comprising at least one compound as claimed in claim 1 and at least one weight controlling active compound.

10. The pharmaceutical of claim 9, wherein said at least one weight controlling active compound is chosen from cathine, phenylpropanolamine, amfepramone, mefenorex, ephedrine, leptin, dexamphetamine, amphetamine, fenfluramine, dexfenfluramine, sibutramine, orlistat, mazindol or phentermine or salts thereof.

5

11. A pharmaceutical comprising at least one compound as claimed in claim 1 and at least one antidiabetic compound.

12. The pharmaceutical of claim 11, wherein said at least one antidiabetic compound is chosen from insulins, amylin GL-1 and GLP-2 derivatives, and oral hypoglycemic active compounds.

13. The pharmaceutical of claim 12, wherein said oral hypoglycemic active compounds are chosen from sulfonyl ureas, biguanides, meglitinides, oxadiazolidinediones, thiazolidinediones, glucosidase inhibitors, glucagon receptor antagonists, GLP-1 agonists, potassium channel openers, insulin sensitizers, activators of insulin receptor kinase, glycogen phosphorylase inhibitors, and modulators of glucose uptake and glucose elimination,

14. The pharmaceutical of claim 13, wherein said sulfonylureas are chosen from tolbutamide, glibenclamide, glimepiride, glipizide, gliquidone, glisoxepide, glibornuride and gliclazide.

15. A pharmaceutical comprising at least one compound as claimed in claim 1 and at least one additional compound chosen from antihyperlipidemic active compounds and antilipidemic active compounds.

16. The pharmaceutical of claim 15, wherein said at least one additional compound is chosen from cholestyramine, colestipol, clofibrate, gemfibrozil, lovastatin, pravastatin, simvastatin, atorvastatin, cerivastatin, fluvastatin, probucol, ezetimibe and dextrothyroxine.

30

17. A pharmaceutical comprising at least one compound as claimed in claim 1 and at least one antihypertensive active compound.

18. The pharmaceutical of claim 17, wherein said at least one antihypertensive active compound is chosen from betablockers, ACE (angiotensin-converting enzyme) inhibitors, calcium channel blockers and alphablockers.

19. A method for controlling weight in mammals comprising, administering to said mammal at least one compound as claimed in claim 1.

10

20. A method for controlling weight in mammals comprising, administering to said mammal at least one compound as claimed in claim 1 and at least one active compound for weight controlling weight in mammals.

15 21. A method for the treatment of obesity comprising, administering to a patient in need thereof at least one compound as claimed in claim 1.

22. A method for the treatment of obesity comprising, administering to a patient in need thereof at least one compound as claimed in claim 1 and at least one active compound for weight controlling weight in mammals.

20

23. A method for the treatment of type II diabetes comprising, administering to a patient in need thereof at least one compound as claimed in claim 1.

25 24. A method for the treatment of type II diabetes comprising, administering to a patient in need thereof at least one compound as claimed in claim 1 and at least one active compound for weight controlling weight in mammals.

25. A method for the treatment of arteriosclerosis comprising, administering to a patient in need thereof at least one compound as claimed in claim 1.

30

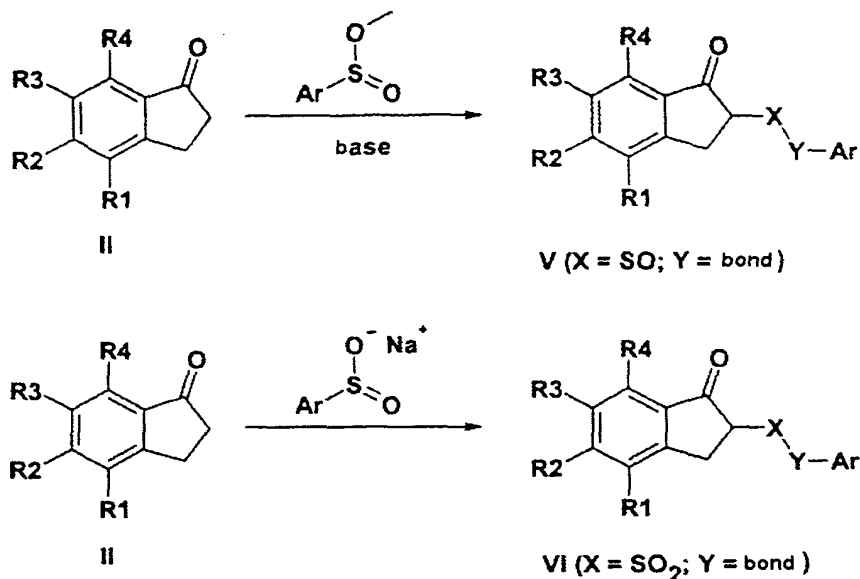
26. A method for the treatment of hyperlipidemia comprising, administering to a patient in need thereof at least one compound as claimed in claim 1.

5

10

The reaction scheme illustrates the synthesis of various 1,2,3,4-tetrahydronaphthalene derivatives (I-VII) from a common starting material (II). The reactions are as follows:

- II** (1,2,3,4-tetrahydronaphthalen-1-one derivative) reacts with a **halogen** to form **III** (halogenated intermediate).
- III** reacts with  $M^+ \cdot X \cdot Y \cdot R_5$  to form **IV** ( $X = S$ ).
- IV** ( $X = S$ ) undergoes **reduction** to form **I** ( $X = S$ ).
- IV** ( $X = S$ ) undergoes **oxidation** to form **V** ( $X = SO$ ).
- V** ( $X = SO$ ) undergoes **reduction** to form **I** ( $X = SO$ ).
- V** ( $X = SO$ ) undergoes **oxidative addition** of  $HS \cdot Y \cdot R_5$  to form **VII**.
- II** reacts with **base /  $R_5 \cdot Y \cdot X \cdot X \cdot Y \cdot R_5$  or  $Cl \cdot X \cdot Y \cdot R_5$**  to form **VI** ( $X = SO_2$ ).
- VI** ( $X = SO_2$ ) undergoes **reduction** to form **I** ( $X = SO_2$ ).
- VI** ( $X = SO_2$ ) undergoes **oxidation** to form **V** ( $X = SO$ ).
- I** ( $X = SO_2$ ) undergoes **oxidation** to form **VII**.



at least one compound of the formula II or III, in which the radicals are as defined in claim I, with at least one sulfur compound of the formula M<sup>+</sup> X-Y-R5 or R5-Y-X-

5 X-Y-R5 or Cl-X-Y-R5 to give at least one compound of the formula IV where X = S; and

reacting at least one compound of the formula IV in which X = S with at least one oxidizing agent to give at least one compound of the formulae V and at least one compound of the formulae VI in which X = SO or SO<sub>2</sub>; and

10 reacting at least one compound of the formulae II to give at least one compound of the formulae V and at least one compound of the formulae VI in which Y is a bond and X = SO or SO<sub>2</sub>; and

reacting at least one compound of the formulae IV, at least one compound of the formulae V and at least one compound of the formulae VI in which X = S, SO or

15 SO<sub>2</sub> with at least one reducing agent to give at least one compound of claim I in which X = S, SO or SO<sub>2</sub>; and

preparing at least one compound of claim I in which X = SO by oxidative addition of at least one compound of the formulae H-X-Y-R5 in which X = S to at least one indene of the formula VII.